

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date
7 April 2005 (07.04.2005)

PCT

(10) International Publication Number
WO 2005/030209 A1

(51) International Patent Classification⁷: **A61K 31/4545**,
C07D 401/12, 409/14, A61P 9/00

Mauerstrasse 8, 79539 Lörrach (DE). **BUR, Daniel**
[CH/CH]; Im Rosengarten 24, CH-4106 Therwil (CH).

(21) International Application Number:
PCT/EP2004/010559

(74) Agent: **SCHAGER, Frank**; Actelion Pharmaceuticals Ltd, Gewerbestrasse 16, CH-4123 Allschwil (CH).

(22) International Filing Date:
21 September 2004 (21.09.2004)

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(25) Filing Language: English
(26) Publication Language: English
(30) Priority Data:
PCT/EP10746 26 September 2003 (26.09.2003) EP

(71) Applicant (for all designated States except US): **ACTELION PHARMACEUTICALS LTD** [CH/CH]; Gewerbestrasse 16, CH-4123 Allschwil (CH).
(72) Inventors; and
(75) Inventors/Applicants (for US only): **MATHYS, Boris** [CH/CH]; Baumgartenstrasse 839, CH-4622 Egerkingen (CH). **MÜLLER, Claus** [DE/FR]; 4, rue de Buschwiller, F-68220 Hegenheim (FR). **SCHERZ, Michael** [US/CH]; Eigenweg 11, CH-4107 Ettingen (CH). **WELLER, Thomas** [CH/CH]; Hoelzlistrasse 58, CH-4102 Binningen (CH). **CLOZEL, Martine** [FR/CH]; Winterhalde 3b, CH-4102 Binningen (CH). **VELKER, Jörg** [DE/DE];

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:
— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.



WO 2005/030209 A1

(54) Title: PYRIDINE DERIVATIVES AND USE THEREOF AS UROTENSIN II ANTAGONISTS

(57) Abstract: The invention relates to novel pyridine derivatives of formula 1 and related compounds and their use as active ingredients in the preparation of pharmaceutical compositions. The invention also concerns related aspects including processes for the preparation of the compounds, pharmaceutical compositions containing one or more of those compounds and their use as neurohormonal antagonists, especially as urotensin II inhibitors.